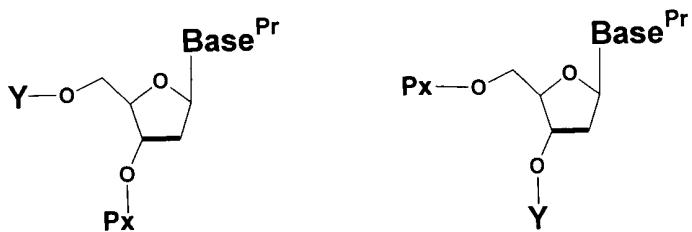


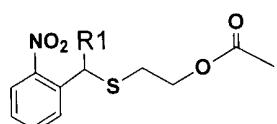
Figure 1



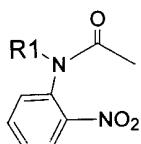
**Px = phosphoramidite, H-phosphonate, phosphate triester**

**Y = general structures (R1 = H, alkyl, aryl)**

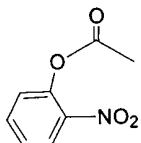
o-nitrobenzylthioethyloxycarbonyl NBTEOC:



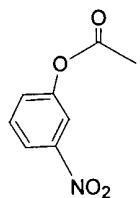
o-nitrophenylaminocarbonyl NPAC



o-nitrophenoxy carbonyl N2POC



m-nitrophenoxy carbonyl N3POC



o-nitrophenylthioethyloxycarbonyl

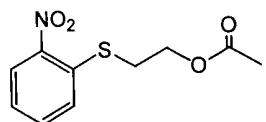
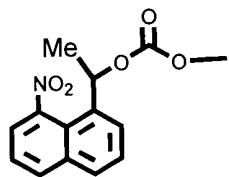
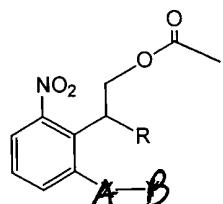


Figure 1 (cont.)

$\alpha$ -methyl-8-nitronaphthylmethoxycarbonyl MeNMOC



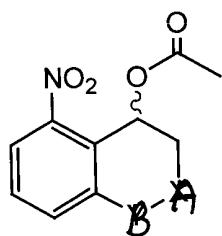
6-substituted 2-(o-nitrophenyl)-2-propyloxycarbonyl 6NPPOC



(**A**) = O, S, N-alkyl, N-aryl, (CH<sub>2</sub>)<sub>n</sub>, where n = 0 - ~3)

(**B**) = aprotic weakly basic group - eg.: N-alkylimidazole)

cyclic o-nitrobenzyloxycarbonyl



Specific examples tested...

Figure 2

TEMPOC

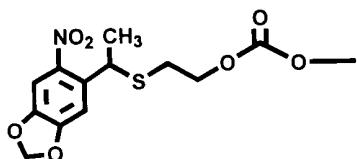
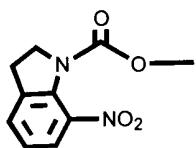
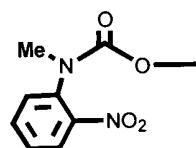


Figure 2 (cont'd)

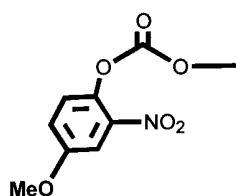
NIOC



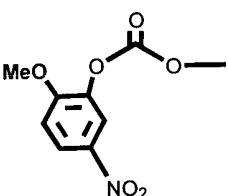
NAMOC



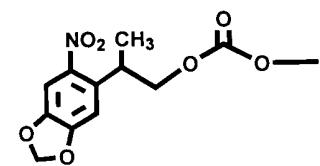
MeN2POC



MeN3POC



NP2POC



NNEOC

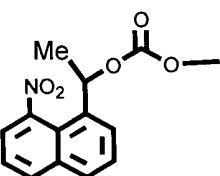


Figure 2 (cont'd)

Coupling Efficiency Data

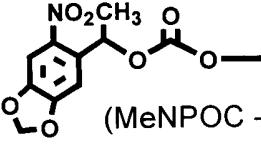
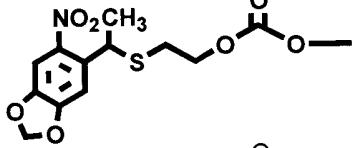
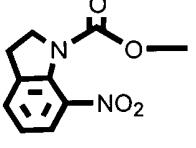
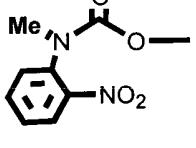
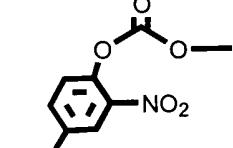
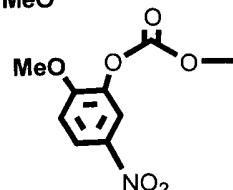
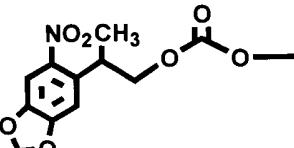
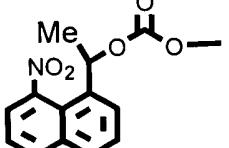
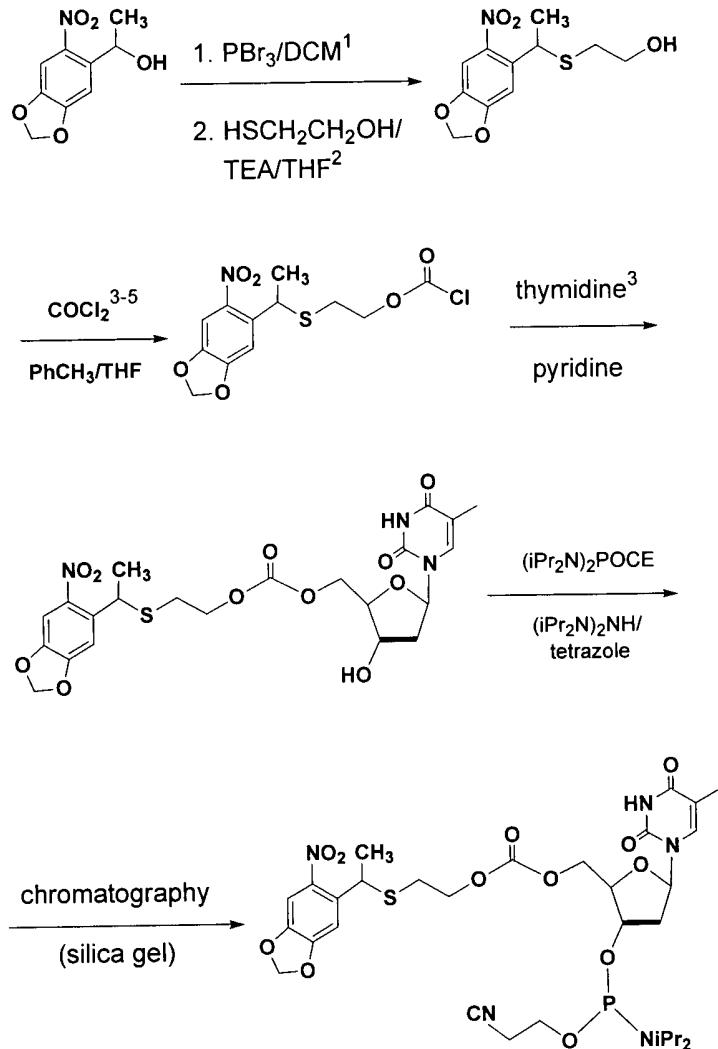
$\text{Y} =$	stepwise yield	photolysis conditions
 (MeNPOC - control)	~88 %	nonpolar solvent
	~85 %	MeOH
	95%	DMSO
	94%	nucleophilic solvent (MeOH)
	~80%	nucleophilic solvent (MeOH)
	~75 %	nucleophilic solvent (MeOH)
	90 %	basic solvent (1%NMI/DMSO)
	96 %	DMSO

Figure 3

5'-TEMPOC-T-Phosphoramidite



1 Dyer, et al. JOC 64: 7988 (1999)

2 Tetrahedron Lett., 38(52), 8933-4 (1997)

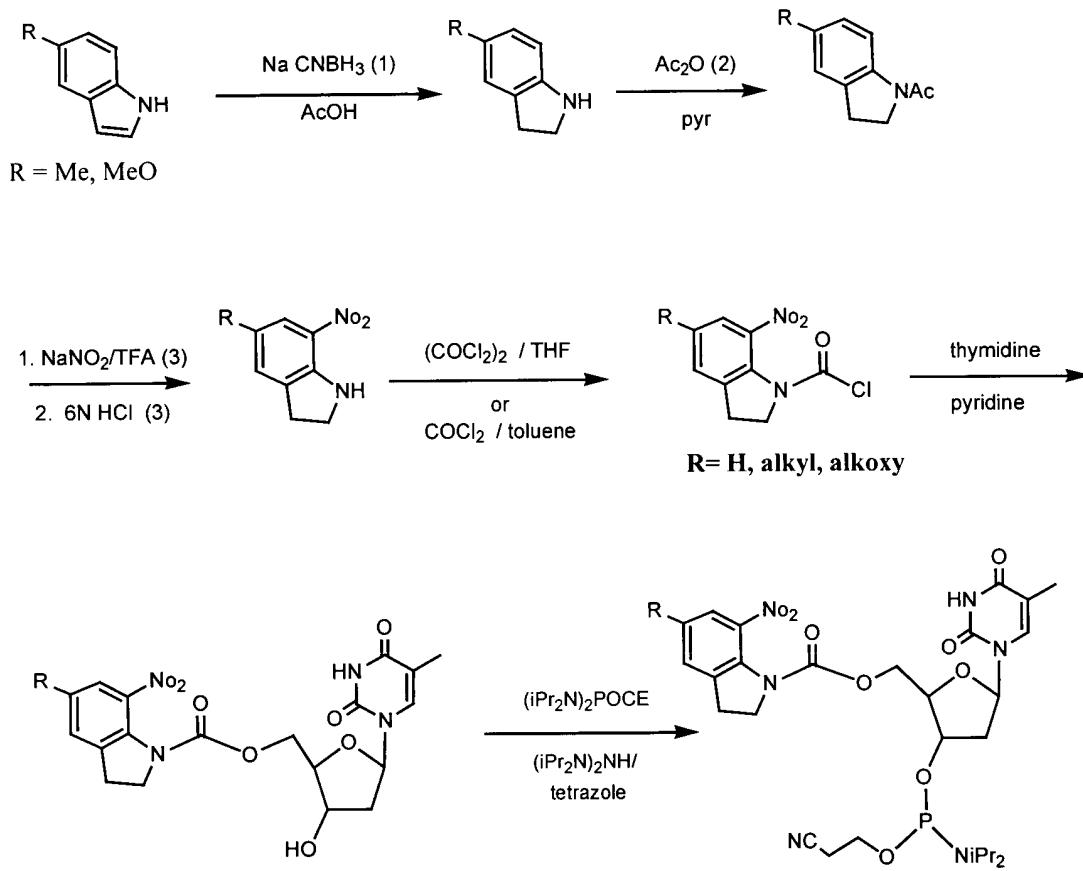
3 McGall, et al. JACS 119: 5081 (1997)

4 triphosgene may work equally well for this step.

5 chloroformate can probably be used without purification.

Figure 4

## Synthesis of NINOC-T-CEP



(1) Bromidge, et al. (1998) *J. Med. Chem.* **41**: 1598.

(2) (i) Brooker, LS, et al. (1953) *US Pat.* 2,646,430; (ii) Boekelheide, et al. (1954) *J. Org. Chem.* **19**: 504.; (iii) Bennet, et al (1941) *J. Chem. Soc.* **74**: 244.

(3) Mortensen, et al. (1996) *Org. Prep. Proc. Int.* **28**: 123.

Figure 5

Me<sub>2</sub>NPOC-T-CEP

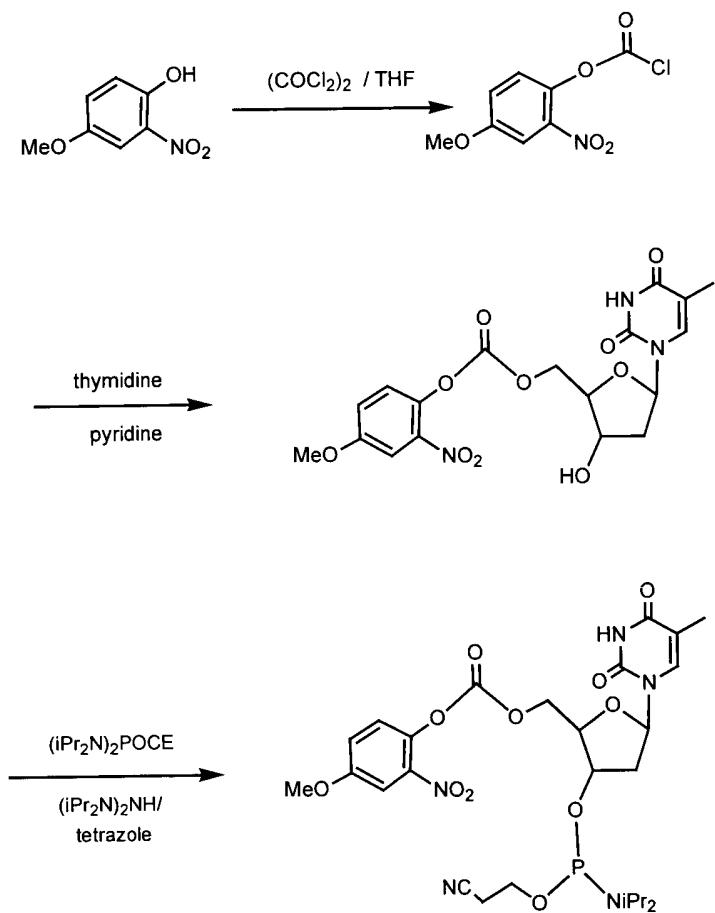


Figure 6

# Me3NPOC-T-CEP

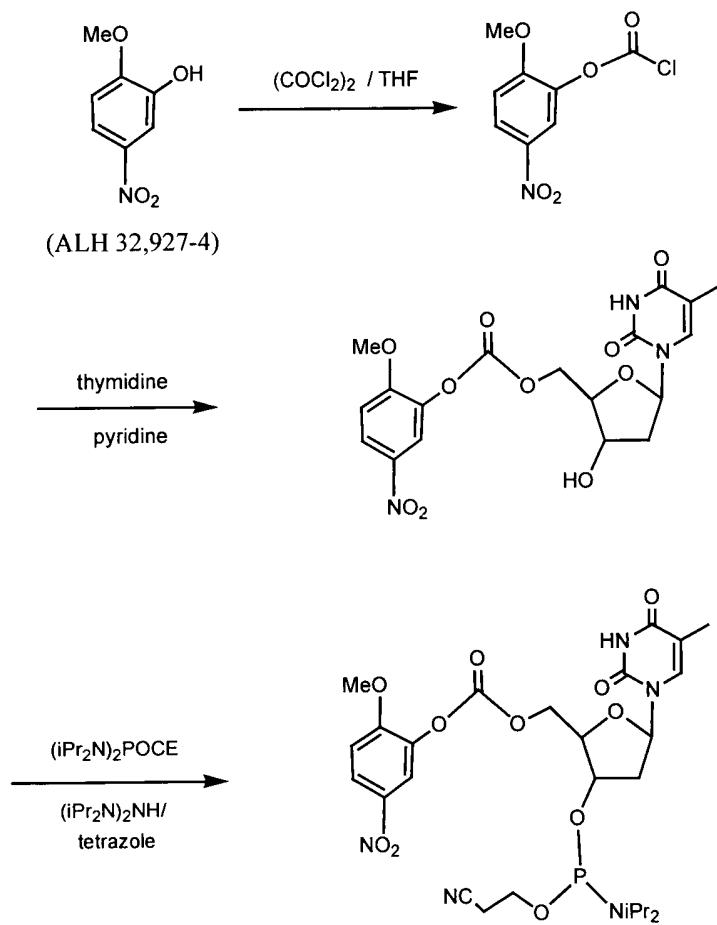


Figure 7

NP2POC-T-CEP

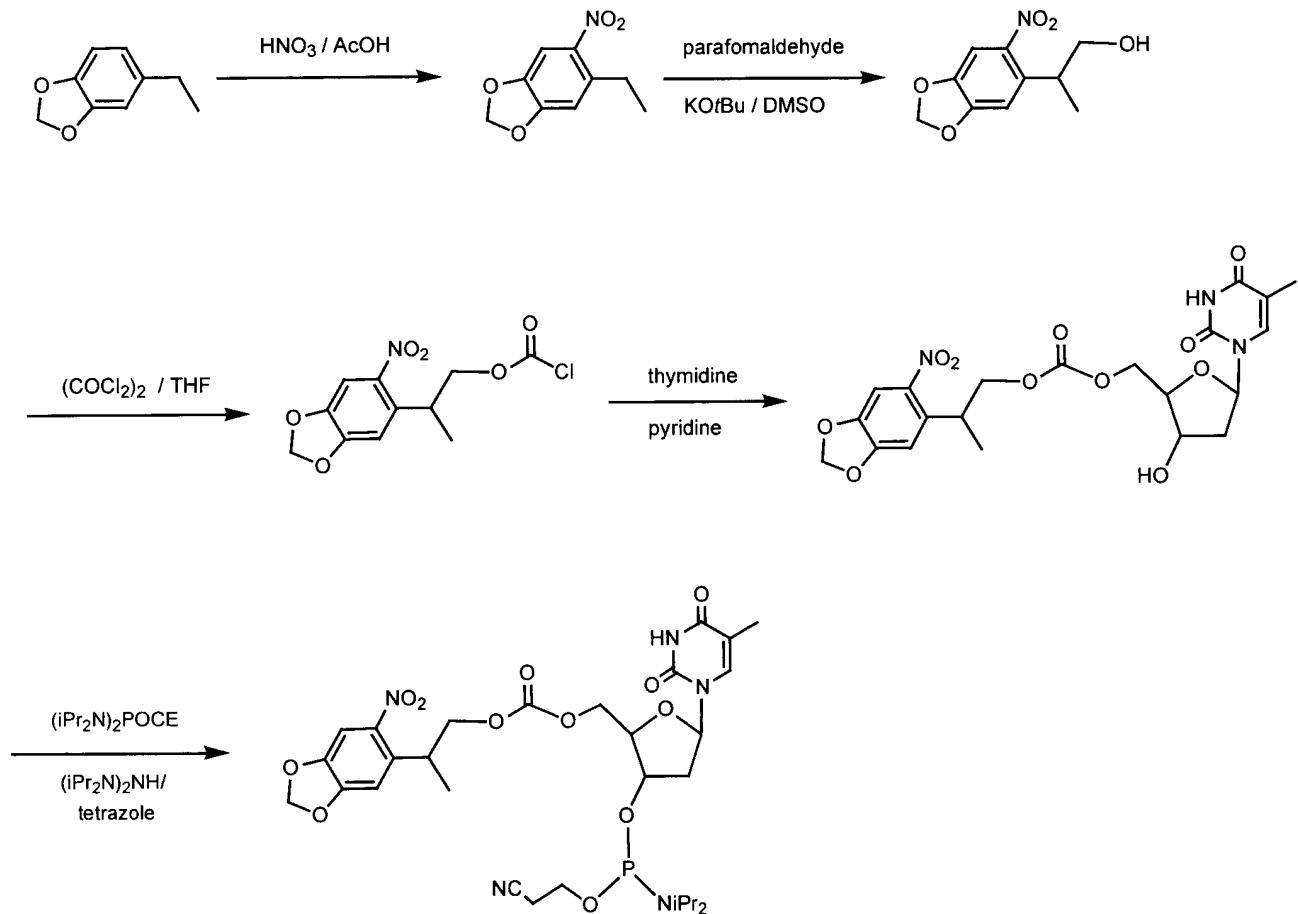


Figure 8

NNEOC-T-CEP

